

INTERNATIONAL SEARCH REPORT

Application No

PCT/GB 03/04211

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D495/04 C07D333/36 //(C07D495/04,333:00,209:00)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

CHEM ABS Data, EPO-Internal, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	SOTH, SAMRETH ET AL: "Recherches en série hétérocyclique. XXIX. Sur des voies d'accès à des thiéno, sélénolo, furo et pyrrolopyrroles" CANADIAN JOURNAL OF CHEMISTRY., vol. 56, no. 6, 1978, pages 1429-1434, XP008026687 NATIONAL RESEARCH COUNCIL. OTTAWA., CA ISSN: 0008-4042 scheme 3, step 15 to 13; page 1434, compound 15b -/--	1-4



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents:

A document defining the general state of the art which is not considered to be of particular relevance

E earlier document but published on or after the international filing date

L document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

O document referring to an oral disclosure, use, exhibition or other means

P document published prior to the international filing date but later than the priority date claimed

T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

X document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

Y document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

Z document member of the same patent family

Date of the actual completion of the international search

27 January 2004

Date of mailing of the international search report

23/02/2004

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3018

Authorized officer

Alfaro Faus, I

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE CA 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; KOBAYASHI, SHOZO ET AL: "Heterocyclic sulfonyl compounds and activated blood coagulation factor X (FXa) inhibitors containing them" retrieved from STN Database accession no. 135:313616 XP002267904 368442-47-1 & JP 2001 294572 A (DAIICHI SEIYAKU CO., LTD., JAPAN) 23 October 2001 (2001-10-23) ---	8
X	WO 02 06246 A (ISTITUTO DI RICHERCHE BIOLOGIA MOLECOLARE P. ANGELETI) 24 January 2002 (2002-01-24) page 34, compound 38 ---	10
X	WO 94 18196 A (WELLCOME FOUNDATION) 18 August 1994 (1994-08-18) example 9A ---	10
X	BRUGIER, DELPHINE ET AL: "Studies on the reactivity of N-(3-thienyl)carbamates" JOURNAL OF THE CHEMICAL SOCIETY, PERKIN TRANSACTIONS 1 (2001), (1), 37-43 , XP002267902 compounds 3a,7b,15a ---	10
X	BOGER, DALE L. ET AL: "Total Synthesis of Distamycin A and 2640 Analogs: A Solution-Phase Combinatorial Approach to the Discovery of New, Bioactive DNA Binding Agents and Development of a Rapid, High-Throughput Screen for Determining Relative DNA Binding Affinity or DNA Binding Sequence Selectivity" JOURNAL OF THE AMERICAN CHEMICAL SOCIETY (2000), 122(27), 6382-6394 , XP002181404 compound 8a ---	10
X	-& SUPPORTING INFO, page 1 XP002267903 compound 17 ---	10
X	BRUGIER, DELPHINE ET AL: ".alpha.-Substitution of.beta.-thienylcarbamates: alkylation, vinylation and Pd-catalyzed coupling reactions" TETRAHEDRON (2000), 56(19), 2985-2993 , XP004198008 compounds 10a, 10b, 11b --- -/--	10

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	SHINKWIN, ANNE E. ET AL: "Synthesis of thiophenecarboxamides, thieno'3,4-c!pyridin-4(5H)-ones and thieno'3,4-d!pyrimidin-4(3H)-ones and preliminary evaluation as inhibitors of poly(ADP-ribose)polymerase (PARP)" BIOORGANIC & MEDICINAL CHEMISTRY (1999), 7(2), 297-308 , XP002927684 compound 8a	10
X	BRUGIER, DELPHINE ET AL: "Synthesis and reactivity of alkyl (4-amino-3-thienyl)carbamates" TETRAHEDRON (1997), 53(30), 10331-10344 , XP004105921 compounds 2b, 6a-6d	10
X	CARROLL, WILLIAM A. ET AL: "Competitive ortho metalation effects: the kinetic and thermodynamic lithiation of 3-(tert-butoxycarbonyl)amino-4-carbomethoxythiophene" TETRAHEDRON LETTERS (1997), 38(15), 2637-2640 , XP004058292 compounds 3-1, 3-3, 6, 8	10
X	SZABO, KALMAN J. ET AL: "Experimental and theoretical study of orientation in the nitration of dithieno'3,4-b:3',4'-d!pyridine" JOURNAL OF ORGANIC CHEMISTRY (1991), 56(4), 1590-6 , XP002268136 compound 5	10
X	BJOERK, PATRICK ET AL: "Improved syntheses of thieno'2,3-b!- and '3,2-b!-fused naphthyridines" JOURNAL OF HETEROCYCLIC CHEMISTRY (1995), 32(3), 751-4 , XP002268137 compound 5	10
X	GALVEZ ET AL: "Synthesis of thiophenedicarbonyldiazides and di-t-butyl thiophendicarbamates" JOURNAL OF HETEROCYCLIC CHEMISTRY., vol. 23, 1986, pages 1103-1108, XP002268138 HETEROCORPORATION. PROVO., US ISSN: 0022-152X compounds 1a, 1b, 13, 14	499

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages,	Relevant to claim No.
X	ADAMS, JERRY L. ET AL: "4-Amino-4,5-dihydrothiophene-2-carboxylic acid" JOURNAL OF ORGANIC CHEMISTRY (1985), 50(15), 2730-6 , XP001037712 compound 9	10
X	GALVEZ, C. ET AL: "Synthesis of isomeric beta.-haloethylthienopyrroles" JOURNAL OF HETEROCYCLIC CHEMISTRY (1984), 21(2), 393-5 , XP002268139 compound 7b	10
X	BRUNETT, EMERY W. ET AL: "Heterocyclic amines. IV. Urethan and urea derivatives of 3-aminothiophene" JOURNAL OF HETEROCYCLIC CHEMISTRY (1968), 5(3), 417-18 , XP002268140 compound III	10
X	BINDER, DIETER ET AL: "Thiophen als a Strukturelement physiologisch aktiver Substanzen, 8. Mitt. 1H,5H-Imidazo'1,2-a'thieno'3,4-d!pyrimidin - 2(3H)-one" ARCHIV DER PHARMAZIE (WEINHEIM, GERMANY) (1981), 314(6), 557-64 , XP008026782 compound 8	10
P,X	MARQUES, MICHAEL A. ET AL: "Toward an understanding of the chemical etiology for DNA minor-groove recognition by polyamides" HELVETICA CHIMICA ACTA (2002), 85(12), 4485-4517 , XP002267901 page 4514, compounds 41 and 11	10

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Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☒ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

International Application No. PCT/GB 03 04211

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2.

Present claims 5 - 11 relate to compounds defined by reference to a desirable characteristic or property, namely having a substituent R12 that is a directing nitrogen protecting group which prevents substitution on the nitrogen atom or the ring atom to which it is attached (see page 6, lines 10-12).

The claims cover all compounds having this substituent, whereas the application provides support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT for only a very limited number of such compounds. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Independent of the above reasoning, the claims also lack clarity (Article 6 PCT). An attempt is made to define the compounds by reference to a result to be achieved. Again, this lack of clarity in the present case is such as to render a meaningful search over the whole of the claimed scope impossible. Consequently, the search has been carried out for those parts of the claims which appear to be clear, supported and disclosed, namely those parts relating to compounds where R12 is $-(C=O)O-R14$, where R14 is a branched C4-10 alkyl group, or an aryl or C1-4alkylaryl group as described on page 6, lines 13-19.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

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Information on patent family members

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Patent document cited in search report		Publication date	Patent family member(s)	Publication date
JP 2001294572	A	23-10-2001	NONE	
WO 0206246	A	24-01-2002	AU 7253001 A CA 2418288 A1 WO 0206246 A1 EP 1309566 A1	30-01-2002 24-01-2002 24-01-2002 14-05-2003
WO 9418196	A	18-08-1994	AU 6005194 A BG 99839 A CA 2155758 A1 CN 1117731 A CZ 9502044 A3 EP 0683778 A1 FI 953777 A WO 9418196 A1 HU 73654 A2 JP 8506337 T MX 9401080 A1 SK 99195 A3 ZA 9400891 A	29-08-1994 29-02-1996 18-08-1994 28-02-1996 13-03-1996 29-11-1995 09-08-1995 18-08-1994 30-09-1996 09-07-1996 31-08-1994 06-12-1995 10-08-1995